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	L22	N adj carboxymethyl adj amino adj acid same library	0			
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	L20	1 adj 2 adj diamine same library	1			
	L19	1 adj 2 adj diamine with library	1			
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	L18	5481020.pn.	1			
	L17	5734054.pn.	1			
	L16	5766963.pn.	1			
	L15	((synthetic or unnatural) with (amino adj acid)) same library same monomer	31			
	L14	((synthetic or unnatural) with (amino adj acid)) same library	939			
	L13	amino same monomer same library and L12	1			
	L12	5639603.pn.	1			
	L11	110 and 15	10			
	L10	(synthetic with (amino adj acid)) same library	888			
	L9	library and L8	6584			
	L8	synthetic with amino adj acid	13301			
	L7	synthetic and 12	5197			
	L6	14 and L5	3			
	L5	(amino adj acid).ti.	2225			
	L4	(amino adj acid) and library.ti.	343			
	L3	(amino adj acid) with library	5703			
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	L1	amino adj acid same library	25306			

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                 National Meeting on March 13, 2005
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 \Rightarrow 1 (w) 2 (w) diamine (s) library 2 1 (W) 2 (W) DIAMINE (S) LIBRARY

=> dup rem 11 PROCESSING COMPLETED FOR L1

2 DUP REM L1 (0 DUPLICATES REMOVED)

=> d ibib abs 12 1-2

ANSWER 1 OF 2 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.

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2001399680 EMBASE ACCESSION NUMBER:

Immobilization of difunctional building blocks on TITLE:

hydroxysuccinimide activated silica: Versatile in situ

preparation of chiral stationary phases.

Kosjek B.; Uray G. AUTHOR:

Dr. G. Uray, Karl-Franzens Universitat, Institut fur CORPORATE SOURCE:

Chemie, Bereich Organ. und Bioorg. Chemie, Heinrichstrasse

28, 8010 Graz, Austria. uray@kfunigraz.ac.at

Chirality, (2001) 13/10 (657-667). SOURCE:

Refs: 16

ISSN: 0899-0042 CODEN: CHRLEP

United States COUNTRY:

Journal; Conference Article DOCUMENT TYPE: Clinical Biochemistry 029 FILE SEGMENT:

English LANGUAGE: English SUMMARY LANGUAGE:

Several brush-type chiral stationary phases (CSPs) based on undecanoyl- or

butanoyl-bound (R,R)-1,2-diphenylethane-1,2-

diamine (DPEDA) as chiral selector were prepared by an innovative, fast, and less expensive kind of preparation. The key to this method is the immobilization of the enantiomeric pure diamine with only one amino function in a simple substitution reaction on hydroxysuccinimide ester-activated silica. No excess chiral material is lost. Loading can be easily monitored analyzing the filtrate. The free second amino function can subsequently be acylated with different acyl halogenides. Examples

with benzoyl- and 3,5-dinitrobenzoyl (DNB) amides show that, based on our new approach, a library of differently acylated Pirkle-type CSPs can easily be obtained. A benzoylated analog of the commercially available ULMO CSP is shown to be very effective in separating enantiomers of N-acyl amino acids. . COPYRGT. 2001 Wiley-Liss, Inc.

ANSWER 2 OF 2 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. T.2

on STN

1000

ACCESSION NUMBER: 96352555 EMBASE

DOCUMENT NUMBER: 1996352555

Macrocyclic triamines as linkers in two-armed receptors for TITLE:

peptides.

AUTHOR: Iorio E.J.; Still W.C.

CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY

10027, United States

SOURCE: Bioorganic and Medicinal Chemistry Letters, (1996) 6/22

(2673-2676).

ISSN: 0960-894X CODEN: BMCLE8

s 0960-894X (96) 00500-8 PUBLISHER IDENT.:

United Kingdom COUNTRY: DOCUMENT TYPE:

Journal; Article 029 Clinical Clinical Biochemistry FILE SEGMENT:

037 Drug Literature Index

LANGUAGE: English SUMMARY LANGUAGE: English

Commercially available triazamacrocycles have been substituted with

trimesic acid/1,2-diamine cyclooligomers to

create a new class of sequence-selective receptors for peptides. Screening

of these compounds against a 3375-member library of N-acetyl tripeptides revealed novel peptide-binding properties.

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 L3 0 1 (W) 2 (W) AMINO (W) ALCOHOL (S) LIBRARY
- => (1 (w) 2 (w) diamine) and library L4 15 (1 (W) 2 (W) DIAMINE) AND LIBRARY
- => dup rem 14
 PROCESSING COMPLETED FOR L4
 L5 11 DUP REM L4 (4 DUPLICATES REMOVED)
- => t ti 15 1-11

- L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Ethylene diamines as anti tubercular drugs: compositions and methods
- L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Ethylene diamines as anti tubercular drugs: compositions and methods
- L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Peptidomimetic modulators of cell adhesion
- L5 ANSWER 4 OF 11 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
- Labeling a target protein for use in identifying drug activity, comprises contacting a fusion protein with a biotin analog to allow binding, through an acceptor peptide, in the presence of a biotin ligase mutant.
- L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Preparation of benzofused heteroaryl amide derivatives of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders
- L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Preparation of thiazolylamino benzamide derivatives as modulators of cell proliferation and inhibitors of protein kinases
- L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Combinatorial Lead Optimization of [1,2]-Diamines Based on Ethambutol as Potential Antituberculosis Preclinical Candidates
- L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Peptidomimetic modulators of cell adhesion
- L5 ANSWER 9 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN
- TI New scaffolds for combinatorial synthesis. 1. 5-Sulfamoylisatins and their reactions with 1,2-diamines.
- L5 ANSWER 10 OF 11 MEDLINE on STN DUPLICATE 1
- TI Immobilization of difunctional building blocks on hydroxysuccinimide activated silica: versatile in situ preparation of chiral stationary phases.
- L5 ANSWER 11 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN DUPLICATE 2
- TI Macrocyclic triamines as linkers in two-armed receptors for peptides.

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

2003:49639 CAPLUS ACCESSION NUMBER:

138:247935 DOCUMENT NUMBER:

, :

SOURCE:

Combinatorial Lead Optimization of [1,2]-Diamines TITLE:

Based on Ethambutol as Potential Antituberculosis

Preclinical Candidates

Lee, Richard E.; Protopopova, Marina; Crooks, Emma; AUTHOR(S):

Slayden, Richard A.; Terrot, Marianne; Barry, Clifton

Tuberculosis Research Section, NIAID, National CORPORATE SOURCE:

Institutes of Health, Rockville, MD, 20850, USA Journal of Combinatorial Chemistry (2003), 5(2),

172 - 187

CODEN: JCCHFF; ISSN: 1520-4766

American Chemical Society PUBLISHER:

Journal DOCUMENT TYPE: English LANGUAGE:

CASREACT 138:247935 OTHER SOURCE(S):

Despite relatively modest potency, ethambutol (EMB, (S,S)-[N,N-di-2-amino-1-butanol]ethylenediamine) is a mainstay of contemporary chemotherapy for the treatment of tuberculosis. We have developed a solid-phase synthesis of 1,2-diamine analogs of EMB using a novel

acylation-reduction sequence that is compatible with high-throughput 96-well format chemical Using this procedure, we have synthesized 63,238 diamine analogs in pools of 10 that are suitable for testing. MIC and a target-based reporter assay were used to direct deconvolution of 2796 individual compds. from these mixts., and the 69 most potent mols. were resynthesized in milligram quantities for hit confirmation. Purification of these individual active diamine analogs allowed the identification of 26 compds. with activity equal to or greater than EMB. Amines which occurred most frequently in active compds. included many with large hydrophobic moieties, suggesting that optimization was perhaps selecting for the isoprenoid binding site of the arabinosyltransferase target of EMB.

N-Geranyl-N'-(2-adamantyl)ethane-1,2-diamine

, the most active of these diamines, displayed a 14-35-fold improvement in activity in vitro against Mycobacterium tuberculosis, as compared to EMB. THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS 36 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs 15 8

ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

2002:869496 CAPLUS ACCESSION NUMBER:

137:363033 DOCUMENT NUMBER:

Peptidomimetic modulators of cell adhesion TITLE:

Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, INVENTOR(S):

Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang,

Shoameng; Hu, Zenjian

Can. PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 491,078.

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|--------------------------------|----------|----------------------|----------------------------------|----------------------|--|
| | | | | | |
| US 2002168761
US 2004058864 | Al
Al | 20021114
20040325 | US 2001-769145
US 2003-412701 | 20010124
20030410 | |

20030428 US 2003-425557 20040108 US 2004006011 **A**1 A2 20000124 US 2000-491078 PRIORITY APPLN. INFO.: P 19960712 US 1996-21612P A1 19970711 us 1997-893534 A1 20000217 US 2000-507102 B1 20010124 US 2001-769145 A2 20011204 US 2001-6982

MARPAT 137:363033 OTHER SOURCE(S):

Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a *timee-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

=> d ibib abs 15 9-11

ANSWER 9 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation.

2002:582586 BIOSIS ACCESSION NUMBER: PREV200200582586 DOCUMENT NUMBER:

New scaffolds for combinatorial synthesis. 1. TITLE:

5-Sulfamoylisatins and their reactions with 1,2-diamines. Ivachtchenko, Alexandre V. [Reprint author]; Il'yin, Alexey AUTHOR(S): P.; Kobak, Vladimir V.; Zolotarev, Denis A.; Boksha, Larisa

V.; Trifilenkov, Andrey S.; Ugoleva, Dina M. Chemical Diversity Labs, Inc., 11575 Sorrento Valley Road, CORPORATE SOURCE:

Suite 211, San Diego, CA, 92121, USA

Journal of Combinatorial Chemistry, (September-October, SOURCE:

2002) Vol. 4, No. 5, pp. 419-428. print.

ISSN: 1520-4766.

Article DOCUMENT TYPE: English LANGUAGE:

Entered STN: 13 Nov 2002 ENTRY DATE:

Last Updated on STN: 30 Dec 2002

3,3-Dichloro-5-(4-methylpiperidinosulfonyl)-2-indolinone (3) and 5-sulfamoylisatins 4 have been synthesized from 5-chlorosulfonyl-3,3dichloro-2-indolinone (1). Compounds 4 are promising scaffolds for the solid-and liquid-phase syntheses of new combinatorial libraries of various heterocycles. Thus, the reactions of 4 with 1,2-diamines, such as o-phenylenediamine (5) and aminoguanidine hydrochloride (6), 1,2-diaminoimidazoles. (9), and thiosemicarbazide led, respectively, to new heterocycles 7 and 8 and new combinatorial libraries of triazinoindoles 10 and 15. Chemsets 4, 10, and 15 were isolated as crystalline solids that were purified by recrystallization from a suitable solvent and characterized by spectroscopic methods.

DUPLICATE 1 MEDLINE on STN ANSWER 10 OF 11

2001695976 MEDLINE ACCESSION NUMBER: PubMed ID: 11746798 DOCUMENT NUMBER:

Immobilization of difunctional building blocks on TITLE:

hydroxysuccinimide activated silica: versatile in situ

preparation of chiral stationary phases.

Kosjek B; Uray G AUTHOR:

Institut fur Chemie, Karl-Franzens Universitat Graz, 8010 CORPORATE SOURCE:

Graz, Austria.

Chirality, (2001) 13 (10) 657-67. SOURCE:

Journal code: 8914261. ISSN: 0899-0042.

United States PUB. COUNTRY:

Journal; Article; (JOURNAL ARTICLE) DOCUMENT TYPE:

LANGUAGE:

English

FILE SEGMENT:

PUBMED-NOT-MEDLINE

ENTRY MONTH:

200203

ENTRY DATE:

Entered STN: 20011218

Last Updated on STN: 20020324 Entered Medline: 20020322

AB Several brush-type chiral stationary phases (CSPs) based on undecanoyl- or butanoyl-bound (R,R)-1,2-diphenylethane-1,2-diamine (DPEDA) as chiral selector were prepared by an innovative, fast, and less expensive kind of preparation. The key to this method is the immobilization of the enantiomeric pure diamine with only one amino function in a simple substitution reaction on hydroxysuccinimide ester-activated silica. No excess chiral material is lost. Loading can be easily monitored analyzing the filtrate. The free second amino function can subsequently be acylated with different acyl halogenides. Examples with benzoyl- and 3,5-dinitrobenzoyl (DNB) amides show that, based on our new approach, a library of differently acylated Pirkle-type CSPs can easily be obtained. A benzoylated analog of the commercially available ULMO CSP is shown to be very effective in

separating enantiomers of N-acyl amino acids. Copyright 2001 Wiley-Liss, Inc.

L5 ANSWER 11 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on DUPLICATE 2

ACCESSION NUMBER: DOCUMENT NUMBER:

1997:13288 BIOSIS

TITLE:

PREV199799312491
Macrocyclic triamines as linkers in two-armed receptors for

peptides.

AUTHOR(S):

Iorio, Edward James; Still, W. Clark [Reprint author] Dep. Chem., Columbia Univ., New York, NY 10027, USA

CORPORATE SOURCE: SOURCE:

Bioorganic and Medicinal Chemistry Letters, (1996) Vol. 6,

No. 22, pp. 2673-2676.

CODEN: BMCLE8. ISSN: 0960-894X.

DOCUMENT TYPE:

Article

LANGUAGE: ENTRY DATE: English
Entered STN: 15 Jan 1997

Last Updated on STN: 11 Feb 1997

AB Commercially available triazamacrocycles have been substituted with trimesic acid/1,2-diamine cyclooligomers to create a new class of sequence-selective receptors for peptides. Screening of these compounds against a 3375-member library of N-acetyl tripeptides revealed novel peptide-binding properties.

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LAST RELOADED: Feb 18, 2005 (20050218/UP).

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- L10 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Combinatorial Approach to the Discovery of Novel Coordination Complexes ΤI
- L10 ANSWER 2 OF 20 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on DUPLICATE 1 STN
- A novel 55-kDa regulatory subunit for phosphatidylinositol 3-kinase ΤI structurally similar to p55PIK is generated by alternative splicing of the p85-alpha gene.
- L10 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Characterization and cloning of the Ell antigen, a marker expressed by rat тT osteoblasts and osteocytes
- L10 ANSWER 4 OF 20 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation.
- Reductive alkylation on a solid phase: Synthesis of a piperazinedione ΤI combinatorial library.
- L10 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Simultaneous Solid-Phase Synthesis of $\beta\text{-Turn Mimetics}$ Incorporating ΤI Side-Chain Functionality
- L10 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Spatially restricted expression of set mRNA in developing rat kidney
- L10 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- A gene-sized DNA molecule encoding the catalytic subunit of DNA polymerase $\boldsymbol{\alpha}$ in the macronucleus of Oxytricha nova
- L10 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Molecular cloning and structural analysis of canine gastric hydrogen ion-potassium ATPase
- L10 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Nucleotide sequence of the cDNA encoding silk gland elongation factor ΤI 1α
- L10 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Nucleotide sequence of rat elongation factor- 1α cDNA
- L10 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Sequence of a cDNA encoding the $\alpha\text{-subunit}$ of wheat translation ТT elongation factor 1
- L10 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Identification of Tetrahymena 14-nm filament-associated protein as TΙ elongation factor 1α
- L10 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Molecular cloning of feline interferon cDNA by direct expression TI
- L10 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- A cDNA encoding an α -tubulin from Schistosoma mansoni TΙ
- L10 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

- Primary structure of guinea pig Hageman factor: sequence around the cleavage site differs from the human molecule
- L10 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Molecular cloning, expression and characterization of ovine $\mbox{TNF}\alpha$ ΤI
- DUPLICATE 2 MEDLINE on STN L10 ANSWER 17 OF 20
- Isolation, characterization, and chromosomal mapping of mouse P450 17 ΤI alpha-hydroxylase/C17-20 lyase.
- L10 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Cloning, cDNA analysis and prolactin-dependent expression of a marsupial ΤI α -lactalbumin
- L10 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- Human serum amyloid A. Three hepatic mRNAs and the corresponding proteins in one person
- L10 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
- A direct cloning-expression system for neutralization antigens of herpes simplex viruses

=> d ibib abs 110 1,4

L10 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

1996:544189 CAPLUS ACCESSION NUMBER:

125:236878 DOCUMENT NUMBER:

Combinatorial Approach to the Discovery of Novel TITLE:

Coordination Complexes

Francis, Matthew B.; Finney, Nathaniel S.; Jacobsen, AUTHOR(S):

Eric N.

Department of Chemistry, Harvard University, CORPORATE SOURCE:

Cambridge, MA, 02138, USA

Journal of the American Chemical Society (1996), SOURCE:

118(37), 8983-8984

CODEN: JACSAT; ISSN: 0002-7863

American Chemical Society PUBLISHER:

Journal DOCUMENT TYPE: English LANGUAGE:

Metal complexes are reported as formed using a library from combinatorial chemical The library was prepared on poly(ethylene glycol)-grafted polystyrene so that each polymer bead displayed a unique ligand structure. The **library** theor. consisted of 12,000 different ligands. It comprises 4 variable components: 2 amino acids linked by a "turn element" and terminated by various capping reagents. The turn elements employed were cyclic 1,2-amino alcs. or .alpha .-amino acid derivs. Metals used were Ni, Fe, Cu, Pt, Sn, and Pd. With Ni, 4 different ligands were found each bearing L-His(Trt) in both amino acid positions; only 2 turn elements, acetyl and 1-naphthylenyl chlorides, were found. Extent of binding is reported for the other metals with some general observations regarding selectivity of amino acids.

L10 ANSWER 4 OF 20 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation.

1995:119007 BIOSIS ACCESSION NUMBER: PREV199598133307 DOCUMENT NUMBER:

Reductive alkylation on a solid phase: Synthesis of a TITLE:

piperazinedione combinatorial library.

Gordon, David W.; Steele, John [Reprint author] AUTHOR(S):

Discovery Chem. Dep., Pfizer Central Res., Sandwich, Kent CORPORATE SOURCE:

CT13 9NJ, UK

SOURCE: E

Bioorganic and Medicinal Chemistry Letters, (1995) Vol. 5,

No. 1, pp. 47-50.

CODEN: BMCLE8. ISSN: 0960-894X.

DOCUMENT TYPE:

Article English

LANGUAGE: ENTRY DATE:

Entered STN: 29 Mar 1995

Last Updated on STN: 23 May 1995

The synthesis of a prototype trisubstituted piperazinedione combinatorial library of 1,000 compounds has been achieved from three precursor sets - two sets of ten alpha-amino acids and one set of ten aldehydes. A sodium triacetoxyborohydride-mediated reductive alkylation was crucial to the success of the multi-step synthesis on resin. This protocol represents a new method to augment compound files rapidly with novel heterocyclic entities for high-speed screening.

=> (N (w) carboxymethyl (w) amino (w) acid) and library L11 0 (N (W) CARBOXYMETHYL (W) AMINO (W) ACID) AND LIBRARY

=> (N (w) carboxymethyl (w) amino) and library L12 0 (N (W) CARBOXYMETHYL (W) AMINO) AND LIBRARY

=> d ibib abs 110 2

L10 ANSWER 2 OF 20 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN

ACCESSION NUMBER:

1996:155178 BIOSIS

DOCUMENT NUMBER:

PREV199698727313

TITLE:

A novel 55-kDa regulatory subunit for phosphatidylinositol 3-kinase structurally similar to p55PIK is generated by

alternative splicing of the p85-alpha gene.

AUTHOR(S):

Inukai, Kouichi; Anai, Motonobu; Van Breda, Eric; Hosaka, Toshio; Katagiri, Hideki; Funaki, Makoto; Fukushima, Yasushi; Ogihara, Takehide; Yazaki, Yoshio; Kikuchi, Masatoshi; Oka, Yoshitomo; Asano, Tomoichiro [Reprint

author]

CORPORATE SOURCE:

Third Dep. Intern. Medicine, Fac. Medicine, Univ. Tokyo,

7-3-1 Hongo, Bunkyo-ku, Tokyo 113, Japan

SOURCE:

Journal of Biological Chemistry, (1996) Vol. 271, No. 10,

pp. 5317-5320.

CODEN: JBCHA3. ISSN: 0021-9258.

DOCUMENT TYPE:

Article

LANGUAGE:

English

ENTRY DATE:

Entered STN: 11 Apr 1996

Last Updated on STN: 10 Jun 1997

Phosphatidylinositol 3-kinase, which is composed of a 110-kDa catalytic AB subunit and a regulatory subunit, plays important roles in various cellular signaling mechanisms. We screened a rat brain cDNA expression library with 32P-labeled human IRS-1 protein and cloned cDNAs that were very likely to be generated by alternative splicing of p85-alpha gene products. These cDNAs were demonstrated to encode a 55-kDa protein (p55-alpha) containing two SH2 domains and an inter-SH2 domain of p85-alpha but neither a bcr domain nor a SH3 homology domain. Interestingly, p55-alpha contains a unique 34-amino acid sequence at its NH-2 terminus, which is not included in the p85-alpha amino acid sequence. This 34-amino acid portion was revealed to be comparable with p55PIK (p55-gamma) in length, with a high homology between the two, suggesting that these NH-2-terminal domains of p55-alpha and p55-gamma may have a specific role that p85 does not. The expression of p55-alpha mRNA is most abundant in the brain, but expression is ubiquitous in most rat tissues. Furthermore, it should be noted that

the expression of p85-alpha mRNA in muscle is almost undetectably low by Northern blotting with a cDNA probe coding for the p85-alpha SH3 domain, while the expression of p55-alpha can be readily detected. These results suggest that p55-alpha may play an unique regulatory role for phosphatidylinositol 3-kinase in brain and muscle.

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L14 84283 DIAMINE

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     8
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     10 DEC 17
NEWS
                 alerts (SDIs) affected
                 SOLIDSTATE reloaded; updating to resume; current-awareness
NEWS
     11 DEC 17
                 alerts (SDIs) affected
                 CERAB reloaded; updating to resume; current-awareness
     12 DEC 17
NEWS
                 alerts (SDIs) affected
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NEWS 13 DEC 17
NEWS 14 DEC 30 EPFULL: New patent full text database to be available on STN
NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED
    16 JAN 03 No connect-hour charges in EPFULL during January and
NEWS
                 February 2005
     17 JAN 26 CA/CAPLUS - Expanded patent coverage to include the Russian
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                 Agency for Patents and Trademarks (ROSPATENT)
                 STN Patent Forums to be held in March 2005
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                 STN User Update to be held in conjunction with the 229th ACS
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      19 FEB 16
                 National Meeting on March 13, 2005
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command can only be used to look at the index in a file which has an
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=> amino (w) acid
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
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command can only be used to look at the index in a file which has an
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=> fil medline biosis caplus embase wpids COST IN U.S. DOLLARS

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=> amino (w) acid 3 FILES SEARCHED... L1 1552191 AMINO (W) ACID

=> monomer and 11 L2 13465 MONOMER AND L1

=> combinatorial (w) libarary and 12 L3 0 COMBINATORIAL (W) LIBARARY AND L2

=> combinatorial (w) library and 12 L4 23 COMBINATORIAL (W) LIBRARY AND L2

=> py>1996 and 14 L5 22 PY>1996 AND L4

=> 14 not 15 L6 1 L4 NOT L5

=> d ibib abs 16

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

1995:15469 CAPLUS ACCESSION NUMBER:

122:73101 DOCUMENT NUMBER:

Hybrid Rop-pIII proteins for the display of TITLE:

constrained peptides on filamentous phage capsids Santiago Vispo, N.; Felici, F.; Castagnoli, L.;

AUTHOR(S):

Cesareni, G.

Dip. Biol., Univ. Roma Tor Vergata, Rome, 00173, Italy CORPORATE SOURCE: Annales de Biologie Clinique (1993), 51(10-11), 917-22 SOURCE:

CODEN: ABCLAI; ISSN: 0003-3898

Journal DOCUMENT TYPE: English LANGUAGE:

To increase the versatility of phage display technol., it is desirable to be able to impose some structural constraints on the peptides that are presented by the phage particles. This is currently not feasible since the conformation of the capsid proteins, used to link the foreign peptide to the phage, are either unknown (pIII) or too simple (pVIII) to permit the engineering of peptide inserts into a constrained context. To reach this scope the authors have modified the amino-terminus of gene III by appending a well-characterized protein motif, the four-helix bundle of the bacterial protein Rop. Phage particles displaying Rop can be separated from wild-type (wt) particles by affinity purification with an antibody. Rop can be extensively modified by substituting its solvent-exposed residues and/or by inserting peptides either into the carboxy-terminal tail or into the bend region that connects the two α -helixes of the monomer . These results open the possibility to construct peptide libraries where the peptides are constrained either into an Ω -loop type conformation or an α -helix. Libraries formed by peptides inserted into the carboxy-terminus can also be constructed. Furthermore, the system that the authors have developed permits to produce large quantities of the elements of the libraries in the cytoplasm or to display them on the capsid of filamentous phages.

=> dup rem 15 PROCESSING COMPLETED FOR L5 19 DUP REM L5 (3 DUPLICATES REMOVED)

=> t ti 17 1-19

- ANSWER 1 OF 19 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on L7 DUPLICATE 1
- Peptide nucleic acid combinatorial libraries and improved methods of TΙ synthesis.
- ANSWER 2 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN L7
- Composition useful for assessing presence of first target molecule such as ΤI polypeptide in sample e.g., blood, comprises several low-to-moderate affinity binding elements distributed on surface of, and operatively coupled to support.
- ANSWER 3 OF 19 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. L7 on STN
- Development of LC-IMS-CID-TOFMS techniques: Analysis of a 256 component ΤI tetrapeptide combinatorial library.
- ANSWER 4 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN L7
- Protein comprising a variant of model C-type lectin-like domains (CTLD), ΤI in which alpha helices, beta-strands, connecting segments are conserved to maintain CTLD scaffold structure, while the loop region is altered.
- ANSWER 5 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN L7

- TI Identifying atom of common/specificity ligand mimic, proximal to interface region by identifying atom of region and atom in mimic by utilizing nuclear magnetic resonance structure oriented library valency engineering.
- L7 ANSWER 6 OF 19 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. on STN
- TI Rationally designed mutations convert de novo amyloid-like fibrils into monomeric β -sheet proteins.
- L7 ANSWER 7 OF 19 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. on STN
- TI Sugar amino acids and their uses in designing bioactive molecules.
- L7 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Improved preparation of peptide nucleic acid (PNA) combinatorial libraries
- L7 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Method and kit for making a multidimensional combinatorial chemical library
- L7 ANSWER 10 OF 19 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. on STN
- TI Phage display combinatorial libraries of short peptides: Ligand selection for protein purification.
- L7 ANSWER 11 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
- TI Method to identify bi-ligand drug candidates in the development of antibiotics using nuclear magnetic resonance.
- L7 ANSWER 12 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
- TI Arrays of chelating molecules including amino acids, their preparation and use for removing ions from a solution.
- L7 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Statistical Theory of Combinatorial Libraries of Folding Proteins: Energetic Discrimination of a Target Structure
- L7 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Automated solid-phase synthesis of linear nitrogen-linked compounds
- L7 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2
- TI Directed combinatorial compound library for inhibitors of carbohydrate-processing enzymes and high-throughput assays for screening
- L7 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Method for preparing biomacromolecule-binding oligoligands and their use for affinity chromatography, biomacromolecule detection and therapy
- L7 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Improved preparation of oligomeric peptide nucleic acid (PNA) combinatorial libraries
- L7 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Preparation of oligomeric peptide nucleic acid (PNA) combinatorial libraries and improved methods of synthesis
- L7 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- TI Encoded combinatorial chemical libraries

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        1552191 AMINO (W) ACID
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             23 COMBINATORIAL (W) LIBRARY AND L2
L4
             22 PY>1996 AND L4
L5
             1 L4 NOT L5
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             19 DUP REM L5 (3 DUPLICATES REMOVED)
ь7
=> combinatorial (w) library and l1
          1529 COMBINATORIAL (W) LIBRARY AND L1
L8
=> 18 not peptide
           758 L8 NOT PEPTIDE
=> d scan 19
     758 ANSWERS BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN
L9
     Amino acid-derived heterocycles as
ΤI
     combinatorial library targets: Spirocyclic ketal
     lactones.
     Methods & Equipment
        solid-phase synthesis: laboratory techniques; solution-phase synthesis:
IT
        laboratory techniques
     Miscellaneous Descriptors
IT
          combinatorial library; drug development
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2
                    CAPLUS COPYRIGHT 2005 ACS on STN
      758 ANSWERS
L9
      3-2 (Biochemical Genetics)
CC
      Section cross-reference(s): 7
     Construction of combinatorial library of
      starch-binding domain of Rhizopus oryzae glucoamylase and screening of
      clones with enhanced activity by yeast display method
     combinatorial library starch domain Rhizopus
 ST
      glucoamylase yeast display
      Mutagenesis
 IT
         (combinatorial, of ROL lid domain; construction of
         combinatorial library of starch-binding domain of
         Rhizopus oryzae glucoamylase and screening of clones with enhanced
         activity by yeast display method)
      Combinatorial library
 IT
      Rhizopus oryzae
         (construction of combinatorial library of
         starch-binding domain of Rhizopus oryzae glucoamylase and screening of
         clones with enhanced activity by yeast display method)
      Enzyme functional sites
 IT
         (substrate-binding, starch-binding domain; construction of
         combinatorial library of starch-binding domain of
         Rhizopus oryzae glucoamylase and screening of clones with enhanced
         activity by yeast display method)
 IT
      Yeast
         (yeast display; construction of combinatorial library
         of starch-binding domain of Rhizopus oryzae glucoamylase and screening
         of clones with enhanced activity by yeast display method)
       9032-08-0, Glucoamylase
 IT
      RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
       (Biological study)
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(construction of combinatorial library of
       starch-binding domain of Rhizopus oryzae glucoamylase and screening of
       clones with enhanced activity by yeast display method)
                    CAPLUS COPYRIGHT 2005 ACS on STN
      758 ANSWERS
т.9
     34-0 (Amino Acids, Peptides, and Proteins)
CC
    The Building Block Approach to Unusual \alpha- Amino
TI
     Acid Derivatives and Peptides
     review dialkylated amino acid diene cyclization prepn
ST
     combinatorial library; diyne Diels Alder reaction prepn
     alkylated amino acid review; ring closing metathesis
     reaction prepn alkylated amino acid review
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
IT
     (Reactant or reagent)
        (alkadiynes; preparation of unusual \alpha- amino acid
        derivs.)
     Combinatorial library
IT
     Cyclization
     Cycloaddition reaction
     Diels-Alder reaction
         (preparation of unusual \alpha- amino acid derivs.)
     Alkadienes
IT
     Amino acids, preparation
     Cycloalkadienes
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
      (Reactant or reagent)
         (preparation of unusual \alpha- amino acid derivs.)
     Metathesis
IT
         (ring-closing; preparation of unusual \alpha- amino acid
         derivs.)
     Amino acids, preparation
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
IT
      (Reactant or reagent)
         (\alpha,\alpha-dialkylated; preparation of unusual \alpha-
                                                         amino
         acid derivs.)
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end
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                O COMBINATORIAL (W) LIBARARY AND L2
 L3
               23 COMBINATORIAL (W) LIBRARY AND L2
 L4
               22 PY>1996 AND L4
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               1 L4 NOT L5
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               19 DUP REM L5 (3 DUPLICATES REMOVED)
 L7
             1529 COMBINATORIAL (W) LIBRARY AND L1
 Г8
             758 L8 NOT PEPTIDE
 L9
 => 19 and alpha (w) amino
              28 L9 AND ALPHA (W) AMINO
 L10
 => 110 and py>1997
              25 L10 AND PY>1997
 L11
 => dup rem 111
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PROCESSING COMPLETED FOR L11 22 DUP REM L11 (3 DUPLICATES REMOVED) L12

- => t ti 112 1-22
- L12 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Liquid-Phase Combinatorial Synthesis of 1,4-Benzodiazepine-2,5-diones as the Candidates of Endothelin Receptor Antagonism
- L12 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Synthesis of an 8-benzyl-4-(p-substituted-benzyl)-1,4,8triazaspiro[4.5]decan-2-one library on SynPhase TMLanterns
- L12 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Genes that are differentially expressed during erythropoiesis and their diagnostic and therapeutic uses
- L12 ANSWER 4 OF 22 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. on STN
- Dynamic combinatorial chemistry: A new method for selection and TI preparation of synthetic receptors.
- L12 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- The Building Block Approach to Unusual .alpha.-Amino Acid Derivatives and Peptides
- MEDLINE on STN L12 ANSWER 6 OF 22

DUPLICATE 1

- Amino acid-derived heterocycles as combinatorial library targets: bicyclic aminal lactones.
- L12 ANSWER 7 OF 22 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
- Preparation of monocyclic N-acyl-aminolactam compounds useful as pharmaceutical agents involves reacting isocyanide, ketone or aldehyde, protected amino acid and protected alpha or beta-aminoaldehyde.
- L12 ANSWER 8 OF 22 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
- Combinatorial chemical library for biological assay comprises several hydroxyamides optionally encoded with tags.
- L12 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Polymer-Supported Glyoxylate and $\alpha\textsc{-Imino}$ Acetates. Versatile Reagents for the Synthesis of $\alpha\textsc{-Hydroxycarboxylic}$ Acid and . alpha.-Amino Acid Libraries
- L12 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Combinatorial synthesis of N-substituted .alpha.-amino TΤ acids on Sepharose
- L12 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Preparation of glycopeptide antibiotics containing a desmethylvancosamine residue and their combinatorial libraries
- L12 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Combinatorial approach to chiral reagents or catalysts having amine or amino alcohol ligands
- L12 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Preparation of glycopeptide antibiotics and their combinatorial libraries ΤI
- L12 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Novel applications of resin bound .alpha.-amino acids

for the synthesis of benzodiazepines (via Wang resin) and ketopiperazines (via hydroxymethyl resin)

- L12 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Synthesis and use of tetrahydrofuran- and tetrahydropyran-amino acids TΙ
- L12 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Synthesis of peptidomimetics using a polymer-bound Boc-linker ΤI
- L12 ANSWER 17 OF 22 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation.
- beta-amino acid facilitates macrocyclic ring closure TΙ in a combinatorial library.
- L12 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- On-bead combinatorial approach to the design of chiral stationary phases ΤI for HPLC
- L12 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Methods for the synthesis of α, β -substituted amino amides, esters and acids
- L12 ANSWER 20 OF 22 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. DUPLICATE 2
- Solution phase synthesis of a spiro(pyrrolidine-2,3'-oxindole) library via TΙ a three component 1,3-dipolar cycloaddition reaction.
- L12 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Development of asymmetric catalytic processes: From conception to commercialization
- L12 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
- Comprehensive survey of chemical libraries yielding enzyme inhibitors, receptor agonists and antagonists, and other biologically active agents: 1992 through 1997
- => d ibib abs 112 9,10,14,19,22

L12 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN 2001:118484 CAPLUS

ACCESSION NUMBER:

134:310722 DOCUMENT NUMBER:

Polymer-Supported Glyoxylate and α -Imino TITLE:

Acetates. Versatile Reagents for the Synthesis of

 α -Hydroxycarboxylic Acid and . alpha.

Amino Acid Libraries

Kobayashi, Shu; Akiyama, Ryo; Kitagawa, Hidetoshi Graduate School of Pharmaceutical Sciences, The AUTHOR(S): CORPORATE SOURCE:

University of Tokyo CREST Japan Science and Technology

Corporation (JST), Bunkyo-ku Tokyo, 113-0033, Japan

Journal of Combinatorial Chemistry (2001),

3(2), 196-204

CODEN: JCCHFF; ISSN: 1520-4766

American Chemical Society PUBLISHER:

Journal DOCUMENT TYPE: English LANGUAGE:

SOURCE:

CASREACT 134:310722 OTHER SOURCE(S):

Polymer-supported glyoxylate monohydrate (I) and $\alpha\text{-imino}$ acetates (II) have been readily prepared from chloromethylated resin via two or three steps. The ene reactions of I with alkenes were successfully performed in the presence of Yb(OTf)3 (50 mol %) to afford, after cleavage from the polymer support, the corresponding α -hydroxy carboxylic acid esters

in good yields. The reactions of II with silyl enolates, Danishefsky's diene, and alkenes also proceeded smoothly in the presence of Sc(OTf)3 (20 mol %) to give the corresponding .alpha.-amino

acid, pyridone, and tetrahydroquinoline derivs., resp., in good

THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS 58 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2005 ACS on STN L12 ANSWER 10 OF 22

ACCESSION NUMBER:

2002:46827 CAPLUS

DOCUMENT NUMBER:

137:20555

TITLE:

Combinatorial synthesis of N-substituted .

alpha.-amino acids on Sepharose

AUTHOR(S):

Rajur, Sharanabasava; Johnson, Alan; Varady, Laszlo

ArQule, Inc., Woburn, MA, 01801, USA

CORPORATE SOURCE: SOURCE:

Innovation and Perspectives in Solid Phase Synthesis &

Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemistry Diversity, Collected Papers, International Symposium,

6th, York, United Kingdom, Aug. 31-Sept. 4, 1999 (2001), Meeting Date 1999, 105-108. Editor(s):

Epton, Roger. Mayflower Scientific Ltd.:

Kingswinford, UK.

CODEN: 69CEGV; ISBN: 0-9515735-3-5

DOCUMENT TYPE:

Conference English

LANGUAGE: A symposium report. An N-substituted .alpha.-amino

acid library containing 11520 compds. was prepared as potential small mol. ligands for affinity chromatog. The library was obtained by reacting various boronic acids with primary amines and glyoxalic acid on highly cross-linked Sepharose 4 fast flown by mix and split approach. Acid labile Rink linker was used to optimize the chemical and select the building blocks. Using 100% TFA, the products were cleaved from the matrix and

analyzed by high performance liquid chromatog./mass spectrometry.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

3

ACCESSION NUMBER:

2000:177105 CAPLUS

DOCUMENT NUMBER:

132:347546

TITLE:

Novel applications of resin bound .alpha.-

amino acids for the synthesis of

benzodiazepines (via Wang resin) and ketopiperazines

(via hydroxymethyl resin)

AUTHOR(S):

Hulme, Christopher; Ma, Liang; Kumar, N. Vasant; Krolikowski, Paul H.; Allen, Andrew C.; Labaudiniere,

Richard

CORPORATE SOURCE:

New Leads Discovery, New Leads Discovery,

Rhone-Poulenc Rorer Central Research, Collegeville,

PA, 19426, USA

SOURCE:

Tetrahedron Letters (2000), 41(10),

1509-1514

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal English

LANGUAGE: OTHER SOURCE(S):

CASREACT 132:347546

This communication reveals a novel application of resin bound .

alpha.-amino acids coupled with the UDC

(Ugi/DeBOC/cyclize) strategy. Reaction with either N-BOC-.alpha .-amino aldehydes or N-BOC anthranilic acids and subsequent acid

treatment allows the preparation of highly pure and diverse arrays (approx. 10

000 in size) of 1,4-benzodiazepines (Wang resin) and ketopiperazines (hydroxymethyl resin), resp. Notable for the benzodiazepine series of compds. are the five potential points of diversity available from this two-step protocol.

REFERENCE COUNT:

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

35

ACCESSION NUMBER:

1998:661559 CAPLUS

DOCUMENT NUMBER:

129:276341

TITLE:

Methods for the synthesis of α,β -

substituted amino amides, esters and acids

Sharpless, K. Barry; Rubin, A. Erik INVENTOR(S): Scripps Research Institute, USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 92 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA' | rent | NO. | | | KIN |) | DATE | | i | APPL: | ICAT: | ION I | NO. | | D2 | ATE
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OTHER SOURCE(S):

CASREACT 129:276341

GI

 α,β -Unsatd. amides and esters are converted to AΒ α, β -substituted amino amides, esters, and acids. An α,β -unsatd. amide or ester is first converted to an α, β -hydroxysulfonamide or hydroxycarbamate amide or ester using an osmium-catalyzed aminohydroxylation. The α,β hydroxysulfonamide or hydroxycarbamate amides or esters is then

cyclodehydrated to produce a α,β -N-sulfonyl- or the α,β -N-carbamoylaziridine amide or ester. The ring of aziridine intermediate is then nucleophilically opened in a regioselective manner with a variety of nucleophiles to give the α,β -substituted amino acid amides or esters. Preferred nucleophiles include sulfur, oxygen, carbon, and nitrogen nucleophiles. aminohydroxylation of PhCH:CHCONMe2 with chloramine T in the presence of catalytic K2OsO2(OH)4 in 1:1 MeCN-water or tert-butanol-water gave a 7.3:1 ratio of 2-hydroxy-3-tosylamino and 3-hydroxy-2-tosylamino amides (I) and (II), from which 2-hydroxy derivative I could be isolated in 82% yield. Two-step cyclodehydration of a mixture of I and II with MeSO2Cl/Et3N in CH2Cl2, followed by treatment with Et3N or DBU gave aziridine (III) in 95% yield. Ring opening of III with a variety of sulfur, oxygen, and nitrogen nucleophiles gave β -amino and . alpha.-amino

amides (IV) and (V). (Nu = nucleophile).

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1999:22 CAPLUS

DOCUMENT NUMBER:

130:162662

TITLE:

4

Comprehensive survey of chemical libraries yielding enzyme inhibitors, receptor agonists and antagonists, and other biologically active agents: 1992 through

AUTHOR(S):

Dolle, Roland E.

CORPORATE SOURCE:

Department of Chemistry, Pharmacopeia, Inc.,

Princeton, NJ, 08540, USA

SOURCE:

Molecular Diversity (1998), Volume Date

1997-1998, 3(4), 199-233

CODEN: MODIF4; ISSN: 1381-1991

Kluwer Academic Publishers

PUBLISHER: DOCUMENT TYPE:

Journal; General Review

LANGUAGE: English

A review with 81 refs. This review is a historical accounting of chemical libraries from which biol. active agents have been obtained. The comprehensive tabulation includes citations as early as 1992, when the first descriptions of biol. active libraries were disclosed, and continues through 1997. Four tables are provided listing libraries screened against (1) proteolytic enzymes, (2) non-proteolytic enzymes, (3) G-protein coupled receptors (GPCRs), and (4) other targets not classified in the first three tables (e.g., non-GPCRs, integrins, antiinfectives). A name, generic structure, and size is provided for each library citation, accompanied by the mol. screen and the structure and potency of the most active library member. In total, 86 libraries are presented with 60% of the contributions reported from pharmaceutical and biotechnol. companies. Approx. 70% of the libraries have used .alpha.-amino

acid synthons in their construction and 85% of the libraries

include one or more amide bonds.

106

REFERENCE COUNT:

THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS | SINCE FILE
ENTRY | TOTAL
SESSION |
|--|---------------------|------------------|
| FULL ESTIMATED COST | 102.58 | 102.79 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE
ENTRY | TOTAL
SESSION |
| CA SUBSCRIBER PRICE | -4.38 | -4.38 |